STRUCTURAL FUNCTIONS OF ANTIMICROBIAL LONG-CHAIN ALCOHOLS AND PHENOLS

BioMed. Chem. **1995**, *3*, 873

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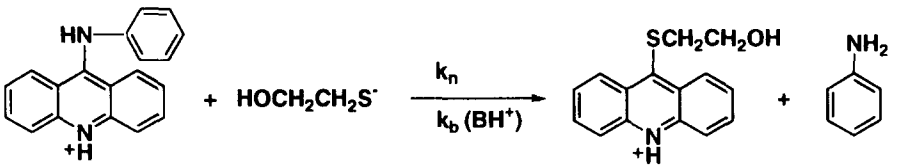
The structure-antimicrobial activity relationships of a series of long-chain alcohols (C_6 to C_{20}) and common naturally occurring alcohols were studied for 15 selected microorganisms. The antimicrobial activity of long-chain alcohols was related to the hydrophobic chain length from the hydrophilic hydroxyl group, and the chain lengths with maximum activity varied depending on the microorganisms tested. The relationships obtained with the alcohols also applied to many other compounds.

Kinetics and Mechanism of General Acid-catalysed Thiolytic Cleavage of 9-Anilinoacridine

BioMed. Chem. **1995**, *3*, 881

M. Niyaz Khan* and A. F. Kuliya-Umar



BH^+ = $HOCH_2CH_2SH$, $^+NH_3OH$, $H_2PO_4^-$, morpholinium ion

Reactions of Diazines with Nucleophiles - Part 4

BioMed. Chem. **1995**, *3*, 891

The Reactivity of 5-Bromo-1,3,6-trimethyluracil with thiolate ions - Sustitution Vs X-Philic Vs Single Electron Transfer Reactions.

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Department of Chemistry, Guru Nanak Dev University, Amritsar-143005 India

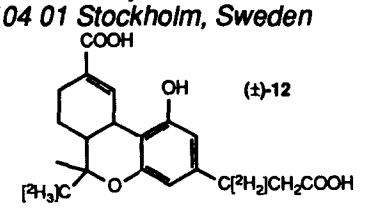
5-Bromo-1,3,6-trimethyluracil(1) with alkylthiolate ions under PTC follow nucleophilic substitution and X-philic elimination. 1 with heteroarylthiolate ions give only nucleophilic substitution products, but, with arylthiolate ions follow single electron transfer (SET) mechanism.

A Urinary Metabolite of Δ^1-Tetrahydrocannabinol. The First Synthesis of 4",5"-Bisnor-Δ^1-Tetrahydrocannabinol-7,3"-dioic Acid, and a Deuterium Labelled Analogue

BioMed. Chem. **1995**, *3*, 899

Maria Szirmai*[1], Magnus M Halldin[2], *[1]Dept. of Pharmacognosy, Uppsala University, Box 579, S-751 23 Uppsala, [2]Dept. of Pharmacology, Karolinska Institute, Box 60 400, S-104 01 Stockholm, Sweden*

The synthesis of 4",5"-bisnor-Δ^1-THC-7,3"-dioic acid, the major dicarboxylated urinary metabolite of Δ^1-THC in man, is discussed. Methyl 3-(3,5-dihydroxyphenyl)-[3,3-$^2H_2$]-propanoate (**8**) is condensed with [$^2H_3$]-terpene synthon (**9**) to give (±)-(**12**). The unlabelled metabolite was obtained using the same synthethic procedure.

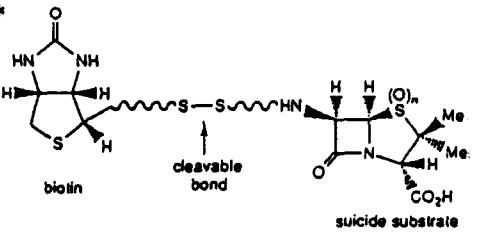


BioMed. Chem. **1995**, *3*, 907

Bifunctional activity labels for selection of filamentous bacteriophages displaying enzymes

S. Vanwetswinkel, R. Touillaux, J. Fastrez and J. Marchand-Brynaert *
Departement of Chemistry, Université Catholique de Louvain, B-1348 Louvain-la-Neuve, Belgium

Two bifunctional activity labels of β-lactamases (n=2) or PBPs (n=0) have been prepared. They feature a β-lactam inhibitor connected to a biotin moiety through a spacer (25-35 Å length) containing a disulfide bridge.

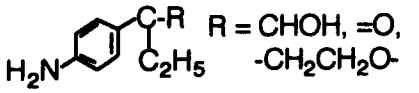


BioMed. Chem. **1995**, *3*, 917

POTENTIAL RADIOPROTECTIVE AGENTS. 6. CHALCONES, BENZOPHENONES, ACID HYDRAZIDES, NITRO AMINES AND CHLORO COMPOUNDS. RADIOPROTECTION OF MURINE INTESTINAL STEM CELLS,

R. T. Blickenstaff*, W. R. Hanson, S. Reddy and R. Witt, *Roudebush VA Medical Center, Departments ofBiochemistry and Molecular Biology and of Radiation Oncology, Indiana University School of Medicine, Indianapolis, IN 46202 and the Loyola-Hines Department of Radiotherapy, Hines VA Medical Center, Chicago, IL 60141-5000.*

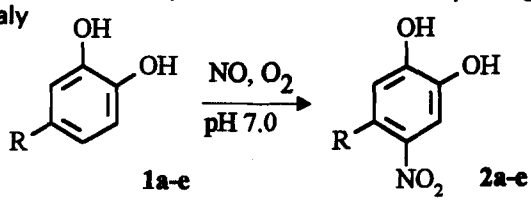
Abstract: Using the intestinal clonogenic cell survival assay, the following are highly radioprotective though slightly less so than WR-2721.



BioMed. Chem. **1995**, *3*, 923

NITRIC OXIDE-INDUCED NITRATION OF CATECHOLAMINE NEUROTRANSMITTERS: A KEY TO NEURONAL DEGENERATION?

Marco d'Ischia*, Claudio Costantini. Dept. Org. Biol. Chem., Univ. Naples , Naples, Italy



1a-e **2a-e**

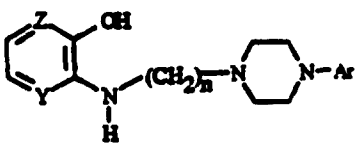
a, R = $CH_2CH_2NH_2$
b, R = $CHOHCH_2NH_2$
c, R = $CH_2CH(NH_2)COOH$
d, R = H
e, R = CH_3

BioMed. Chem. **1995**, *3*, 929

N-SUBSTITUTED AMINOHYDROXYPYRIDINES AS POTENTIAL NONOPIOID ANALGESIC AGENTS

M.C. VIAUD[a*], P. JAMONEAU[a], J.G. BIZOT-ESPIARD[b], B. PFEIFFER[c], P. RENARD[c] D.H. CAIGNARD[c], G. ADAM[c], G. GUILLAUMET[a]
a) *Université d'Orléans, Laboratoire de Chimie Bioorganique et Analytique (L.C.B.A.),URA CNRS n° 499, BP 6759, 45067 Orléans Cedex 2, France* .b) *I. R. I. Servier, 6 Place des Pléiades, 92415 Courbevoie Cedex, France.* c) *ADIR, 1 rue Carle Hébert, 92415 Courbevoie Cedex, France*

A series of new *N*-substituted aminohydroxypyridines have been synthesized, pharmacologically evaluated and compared with their *N*-substituted oxazolopyridone analogs.



BioMed. Chem. **1995**, *3*, 939

Synthesis of Mannostatins A and B from *myo*-Inositol

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Department of Applied Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Kohoku-ku, Yokohama, 223 Japan

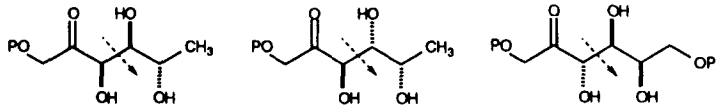
Mannostatins A and B, together with the respective enantiomer and diastereoisomer having the (*S*)-sulfinyl function, were synthesized from *myo*-inositol. Inhibitory activity of the synthetic compounds against jack bean α-mannosidase was measured.

BioMed. Chem. **1995**, *3*, 945

A NEW STRATEGY FOR THE CLONING, OVEREXPRESSION AND ONE STEP PURIFICATION OF THREE DHAP-DEPENDENT ALDOLASES: RHAMNULOSE-1-PHOSPHATE ALDOLASE, FUCULOSE-1-PHOSPHATE ALDOLASE AND TAGATOSE-1,6-DIPHOSPHATE ALDOLASE.

Eduardo Garcia-Junceda, Gwo-Jenn Shen, Takeshi Sugai and Chi-Huey Wong*

Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, California 92037.

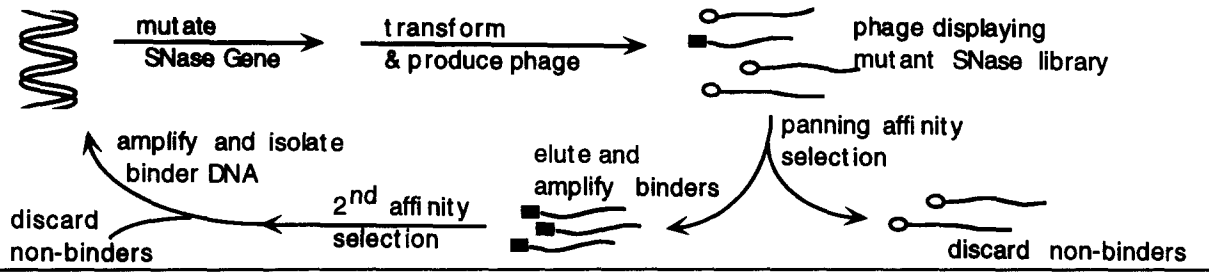


BioMed. Chem. **1995**, *3*, 955

Random Mutagenesis of Staphylococcal Nuclease and Phage Display Selection

James Light and Richard Lerner*

Dept. of Molecular Biology, The Scripps Research Institute, La Jolla, CA 92037



BioMed. Chem. **1995**, *3*, 969

Investigation of the Inhibition of Leukotriene A_4 Hydrolase

Ian R. Ollmann, J. Heather Hogg, Benito Muñoz and Chi-Huey Wong*
The Scripps Research Institute, La Jolla, California. 92037

Jesper Z. Haeggström and Bengt Samuelsson
Karolinska Institutet, Stockholm, Sweden.

Abstract: To better understand the interactions between leukotriene A_4 (LTA_4) hydrolase (3.3.2.6) and the reversible picomolar inhibitor shown to the right, we prepared a number of related compounds. A good metal binding ligand (L) is necessary for sub-micromolar binding and the enzyme prefers the *R* over the *S* enantiomer in contrast to the stereoselectivity displayed towards bestatin, suggesting that these inhibitors bind differently. A possible relative binding geometry which may promote autocatalysis of LTA_4 hydrolysis and an improved synthesis of LTA_4 are presented.